Apwack pt 3 of 3

RN 155271-52-6 CAPLUS

CN 1H-Purine-2,6-dione, 8-[2-(2-bromo-4,5-dimethoxyphenyl)ethenyl]-1,3-diethyl-3,7-dihydro-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155271-53-7 CAPLUS

CN 1H-Purine-2,6-dione, 8-[2-(2-bromo-4,5-dimethoxyphenyl)ethenyl]-1,3-diethyl-3,7-dihydro-7-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155271-54-8 CAPLUS

CN 1H-Purine-2,6-dione, 8-[2-(4,5-dimethoxy-2-nitrophenyl)ethenyl]-1,3-diethyl-3,7-dihydro-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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RN 155271-55-9 CAPLUS

CN 1H-Purine-2,6-dione, 8-[2-(4,5-dimethoxy-2-nitrophenyl)ethenyl]-1,3-diethyl-3,7-dihydro-7-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155271-56-0 CAPLUS

CN 1H-Purine-2,6-dione, 1,3-diethyl-3,7-dihydro-8-[2-(3-methoxy-2-nitrophenyl)ethenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155271-57-1 CAPLUS

CN 1H-Purine-2,6-dione, 1,3-diethyl-3,7-dihydro-8-[2-(3-methoxy-2-nitrophenyl)ethenyl]-7-methyl-, (E)- (9CI) (CA INDEX NAME)

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RN 155271-58-2 CAPLUS
CN 1H-Purine-2,6-dione,
1,3-diethyl-8-[2-(3-fluorophenyl)ethenyl]-3,7-dihydro, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155271-59-3 CAPLUS
CN 1H-Purine-2,6-dione,
1,3-diethyl-8-[2-(3-fluorophenyl)ethenyl]-3,7-dihydro7-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155271-60-6 CAPLUS CN 1H-Purine-2,6-dione, 8-[2-(3,5-dimethoxyphenyl)ethenyl]-1,3-diethyl-3,7-

Page 342

dihydro-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155271-61-7 CAPLUS

CN 1H-Purine-2,6-dione, 8-[2-(3,5-dimethoxyphenyl)ethenyl]-1,3-diethyl-3,7-dihydro-7-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155271-62-8 CAPLUS

CN 1H-Purine-2,6-dione,

8-[2-(3-chlorophenyl)ethenyl]-1,3-diethyl-3,7-dihydro-, (E)- (9CI) (CA INDEX NAME)

RN 155271-63-9 CAPLUS
CN 1H-Purine-2,6-dione,
8-[2-(3-chlorophenyl)ethenyl]-1,3-diethyl-3,7-dihydro7-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Double bond geometry as shown.

RN 155271-65-1 CAPLUS
CN 1H-Purine-2,6-dione, 1,3-diethyl-3,7-dihydro-7-methyl-8-(1-methyl-2-phenylethenyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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RN 155271-66-2 CAPLUS
CN 1H-Purine-2,6-dione, 1,3-diethyl-3,7-dihydro-8-[2-[4-(trifluoromethyl)phenyl]ethenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155271-67-3 CAPLUS
CN 1H-Purine-2,6-dione, 1,3-diethyl-3,7-dihydro-7-methyl-8-[2-[4-(trifluoromethyl)phenyl]ethenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155271-68-4 CAPLUS
CN 1H-Purine-2,6-dione,
1,3-diethyl-8-(1-fluoro-2-phenylethenyl)-3,7-dihydro, (Z)- (9CI) (CA INDEX NAME)

RN 155271-69-5 CAPLUS
CN 1H-Purine-2,6-dione,
1,3-diethyl-8-(1-fluoro-2-phenylethenyl)-3,7-dihydro7-methyl-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155271-70-8 CAPLUS CN 1H-Purine-2,6-dione, 8-[2-(4-bromophenyl)ethenyl]-1,3-diethyl-3,7-dihydro-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155271-71-9 CAPLUS
CN 1H-Purine-2,6-dione,
8-[2-(4-bromophenyl)ethenyl]-1,3-diethyl-3,7-dihydro7-methyl-, (E)- (9CI) (CA INDEX NAME)

3

RN 155271-72-0 CAPLUS CN 1H-Purine-2,6-dione, 1,3-diethyl-3,7-dihydro-8-[2-[3-

(trifluoromethoxy)phenyl]ethenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155271-73-1 CAPLUS

CN 1H-Purine-2,6-dione, 1,3-diethyl-3,7-dihydro-7-methyl-8-[2-[3-(trifluoromethoxy)phenyl]ethenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155271-74-2 CAPLUS

CN 1H-Purine-2,6-dione, 1,3-diethyl-3,7-dihydro-8-[2-[4-(methoxymethoxy)phenyl]ethenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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RN 155271-75-3 CAPLUS
CN 1H-Purine-2,6-dione, 1,3-diethyl-3,7-dihydro-8-[2-[4-(methoxymethoxy)phenyl]ethenyl]-7-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155271-76-4 CAPLUS
CN 1H-Purine-2,6-dione,
1,3-diethyl-8-[2-(4-fluorophenyl)ethenyl]-3,7-dihydro, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155271-77-5 CAPLUS
CN 1H-Purine-2,6-dione,
1,3-diethyl-8-[2-(4-fluorophenyl)ethenyl]-3,7-dihydro7-methyl-, (E)- (9CI) (CA INDEX NAME)

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RN 155271-78-6 CAPLUS

CN 1H-Purine-2,6-dione, 8-[2-[3,5-bis(trifluoromethyl)phenyl]ethenyl]-1,3-diethyl-3,7-dihydro-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155271-79-7 CAPLUS

CN 1H-Purine-2,6-dione, 8-[2-[3,5-bis(trifluoromethyl)phenyl]ethenyl]-1,3-diethyl-3,7-dihydro-7-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155271-80-0 CAPLUS

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CN 1H-Purine-2,6-dione, 8-[2-(3,5-difluorophenyl)ethenyl]-1,3-diethyl-3,7-dihydro-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155271-81-1 CAPLUS

CN 1H-Purine-2,6-dione, 8-[2-(3,5-difluorophenyl)ethenyl]-1,3-diethyl-3,7-dihydro-7-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155271-82-2 CAPLUS

CN 1H-Purine-2,6-dione,

1,3-diethyl-3,7-dihydro-8-[2-(3-nitrophenyl)ethenyl], (E)- (9CI) (CA INDEX NAME)

RN 155271-83-3 CAPLUS
CN 1H-Purine-2,6-dione, 1,3-diethyl-3,7-dihydro-7-methyl-8-[2-(3-nitrophenyl)ethenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155271-84-4 CAPLUS
CN 1H-Purine-2,6-dione,
8-[2-(3-bromophenyl)ethenyl]-1,3-diethyl-3,7-dihydro, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155271-85-5 CAPLUS CN 1H-Purine-2,6-dione, 8-[2-(3-bromophenyl)ethenyl]-1,3-diethyl-3,7-dihydro-7-methyl-, (E)- (9CI) (CA INDEX NAME)

RN 155271-86-6 CAPLUS
CN 1H-Purine-2,6-dione, 1,3-diethyl-3,7-dihydro-8-[2-[3-(trifluoromethyl)phenyl]ethenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155271-87-7 CAPLUS
CN 1H-Purine-2,6-dione, 1,3-diethyl-3,7-dihydro-7-methyl-8-[2-[3-(trifluoromethyl)phenyl]ethenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155271-88-8 CAPLUS
CN 1H-Purine-2,6-dione, 8-[2-(6-bromo-1,3-benzodioxol-5-yl)ethenyl]-1,3-diethyl-3,7-dihydro-, (E)- (9CI) (CA INDEX NAME)

RN 155271-89-9 CAPLUS
CN 1H-Purine-2,6-dione, 8-[2-(6-bromo-1,3-benzodioxol-5-yl)ethenyl]-1,3-diethyl-3,7-dihydro-7-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155271-90-2 CAPLUS
CN 1H-Purine-2,6-dione,
1,3-diethyl-8-[2-(2-fluorophenyl)ethenyl]-3,7-dihydro, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155271-91-3 CAPLUS
CN 1H-Purine-2,6-dione,
1,3-diethyl-8-[2-(2-fluorophenyl)ethenyl]-3,7-dihydro7-methyl-, (E)- (9CI) (CA INDEX NAME)

RN 155271-92-4 CAPLUS

CN 1H-Purine-2,6-dione, 8-[2-[4-(dimethylamino)phenyl]ethenyl]-1,3-diethyl-3,7-dihydro-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155271-93-5 CAPLUS

CN 1H-Purine-2,6-dione, 8-(2-[1,1'-biphenyl]-4-ylethenyl)-1,3-diethyl-3,7-dihydro-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155271-94-6 CAPLUS

CN 1H-Purine-2,6-dione, 8-(2-[1,1'-biphenyl]-4-ylethenyl)-1,3-diethyl-3,7-dihydro-7-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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RN 155271-95-7 CAPLUS

CN 1H-Purine-2,6-dione, 1,3-diethyl-8-[2-(3-fluoro-4-methoxyphenyl)ethenyl]-3,7-dihydro-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155271-96-8 CAPLUS

CN 1H-Purine-2,6-dione, 1,3-diethyl-8-[2-(3-fluoro-4-methoxyphenyl)ethenyl]-3,7-dihydro-7-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155271-97-9 CAPLUS

CN 1H-Purine-2,6-dione, 8-[2-(3-chloro-4-fluorophenyl)ethenyl]-1,3-diethyl-3,7-dihydro-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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RN 155271-98-0 CAPLUS

CN 1H-Purine-2,6-dione, 8-[2-(3-chloro-4-fluorophenyl)ethenyl]-1,3-diethyl-3,7-dihydro-7-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155271-99-1 CAPLUS

CN 1H-Purine-2,6-dione, 1,3-diethyl-3,7-dihydro-8-[2-(7-methoxy-1,3-benzodioxol-5-yl)ethenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155272-00-7 CAPLUS

CN 1H-Purine-2,6-dione, 1,3-diethyl-3,7-dihydro-8-[(1E)-2-(7-methoxy-1,3-benzodioxol-5-yl)ethenyl]-7-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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RN 155272-01-8 CAPLUS

CN 1H-Purine-2,6-dione, 1,3-diethyl-8-[2-(3-fluoro-2-methylphenyl)ethenyl]-3,7-dihydro-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155272-02-9 CAPLUS

CN 1H-Purine-2,6-dione, 1,3-diethyl-8-[2-(3-fluoro-2-methylphenyl)ethenyl]-3,7-dihydro-7-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155272-03-0 CAPLUS

CN 1H-Purine-2,6-dione, 8-[2-(3,4-dihydroxyphenyl)ethenyl]-1,3-diethyl-3,7-dihydro-7-methyl-, (E)- (9CI) (CA INDEX NAME)

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RN 155272-04-1 CAPLUS

CN 1H-Purine-2,6-dione, 1,3-diethyl-3,7-dihydro-8-[2-(3-hydroxy-4-methoxyphenyl)ethenyl]-7-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155272-05-2 CAPLUS

CN 1H-Purine-2,6-dione, 1,3-diethyl-3,7-dihydro-8-[2-(4-hydroxyphenyl)ethenyl]-7-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155272-06-3 CAPLUS

CN 1H-Purine-2,6-dione, 1,3-diethyl-3,7-dihydro-7-methyl-8-[2-[4-(phenylmethoxy)phenyl]ethenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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RN 155272-07-4 CAPLUS

CN 1H-Purine-2,6-dione, 8-[2-[4-(4-bromobutoxy)phenyl]ethenyl]-1,3-diethyl-3,7-dihydro-7-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155272-08-5 CAPLUS

CN 1H-Purine-2,6-dioné, 8-[2-[4-(4-azidobutoxy)phenyl]ethenyl]-1,3-diethyl-3,7-dihydro-7-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c|c} & O & Me \\ \hline & N & E \\ \hline & N & N \\ \hline & Et & \\ \end{array}$$

RN 155272-09-6 CAPLUS

CN 1H-Purine-2,6-dione, 8-[2-[4-(4-aminobutoxy)phenyl]ethenyl]-1,3-diethyl-3,7-dihydro-7-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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$$\begin{array}{c|c}
O & Me \\
N & E
\end{array}$$

RN 155272-10-9 CAPLUS

CN Acetic acid, [4-[2-(1,3-diethyl-2,3,6,7-tetrahydro-7-methyl-2,6-dioxo-1H-purin-8-yl)ethenyl]phenoxy]-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155272-11-0 CAPLUS

CN Acetic acid, [4-[2-(1,3-diethyl-2,3,6,7-tetrahydro-7-methyl-2,6-dioxo-1H-purin-8-yl)ethenyl]phenoxy]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155272-12-1 CAPLUS

CN 1H-Purine-2,6-dione, 3,7-dihydro-1,3-dimethyl-8-[2-(3-phenoxyphenyl)ethenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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RN 155272-13-2 CAPLUS

CN 1H-Purine-2,6-dione, 3,7-dihydro-1,3,7-trimethyl-8-[2-(3-phenoxyphenyl)ethenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155272-14-3 CAPLUS

CN 1H-Purine-2,6-dione, 1,3-diethyl-3,7-dihydro-8-[2-(4-hydroxyphenyl)ethenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155272-15-4 CAPLUS

CN 1H-Purine-2,6-dione, 1,3-diethyl-3,7-dihydro-8-[2-(4-hydroxy-2,3-dimethylphenyl)ethenyl]-7-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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L22 ANSWER 49 OF 57 CAPLUS COPYRIGHT 2001 ACS 1995:168999 Document No. 122:81388 (Styryl)xanthine-derivatives adenosine A2

receptor antagonists. Suzuki, Fumio; Shimada, Junichi; Koike, Nobuaki; Kase, Hiroshi; Nakamura, Joji; Shiozaki, Shizaki; Nonaka, Hiromi (Kyowa Hakko Kogyo Co., Ltd., Japan). Can. Pat. Appl. CA 2112031 AA 19940625,

69
pp. (English). CODEN: CPXXEB. APPLICATION: CA 1993-2112031 19931221.
PRIORITY: JP 1992-344116 19921224.

AB The title compds. [I; Q1-Q3 = H, lower alkyl, lower alkoxy, halogen; R1-R3

Ι

= H, lower alkyl; X = COR4, SO2R5; R4 = H, HO, lower alkyl, lower alkoxy; R5 = (un)substituted NH2, etc.], useful as adenosine A2 receptor antagonists for the treatment of **Parkinson**'s disease (no data), depression (no data), etc., are prepd. and I-contg. formulations presented. Thus, (E)-8-(3-acetylstyryl)-1,3-diethyl-7-methylxanthine, m.p. 221.4-221.8.degree., was prepd. and demonstrated 85% inhibition. of 3H-CGS 21680 binding to rat brain-derived adenosine A2 receptors at 10-7 mol (Ki = 13 nM).

IT 160434-09-3P 160434-10-6P 160434-11-7P 160434-12-8P 160434-14-0P 160434-15-1P 160434-16-2P 160434-17-3P 160434-18-4P 160434-19-5P 160434-20-8P 160434-21-9P 160434-23-1P 160434-24-2P 160434-25-3P 160434-26-4P 160434-27-5P 160434-28-6P 160434-29-7P 160434-30-0P 160434-31-1P

GI

160434-32-2P 160434-33-3P 160434-34-4P 160434-35-5P 160434-36-6P 160434-37-7P 160434-38-8P 160434-39-9P 160434-40-2P

160471-61-4P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(styrylxanthine adenosine A2 receptor antagonists)

RN 160434-09-3 CAPLUS

CN Benzenesulfonic acid,

4,5-dimethoxy-2-[2-(2,3,6,7-tetrahydro-7-methyl-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)ethenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 160434-10-6 CAPLUS

CN Benzenesulfonamide, N,N-diethyl-4,5-dimethoxy-2-[2-(2,3,6,7-tetrahydro-7-methyl-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)ethenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 160434-11-7 CAPLUS

CN Benzenesulfonamide,

4,5-dimethoxy-N,N-dipropyl-2-[2-(2,3,6,7-tetrahydro-7-methyl-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)ethenyl]-, (E)- (9CI) (CA INDEX NAME)

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RN 160434-12-8 CAPLUS

CN Piperidine,

1-[[4,5-dimethoxy-2-[2-(2,3,6,7-tetrahydro-7-methyl-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)ethenyl]phenyl]sulfonyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 160434-14-0 CAPLUS

CN Piperazine, 1-[[4,5-dimethoxy-2-[(1E)-2-(2,3,6,7-tetrahydro-7-methyl-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)ethenyl]phenyl]sulfonyl]-4-methyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 160434-13-9 CMF C27 H38 N6 O6 S

CDES 2:E

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 160434-15-1 CAPLUS

CN Benzenesulfonamide,

N-[2-(dimethylamino)ethyl]-4,5-dimethoxy-N-methyl-2-[2-instance]

(2,3,6,7-tetrahydro-7-methyl-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)ethenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 160434-16-2 CAPLUS

CN Benzoic acid,

4-[2-(2,3,6,7-tetrahydro-7-methyl-2,6-dioxo-1,3-dipropyl-1H-

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purin-8-yl)ethenyl]-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 160434-17-3 CAPLUS

CN Benzoic acid,

4-[2-(2,3,6,7-tetrahydro-7-methyl-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)ethenyl]-, methyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 160434-18-4 CAPLUS

CN Benzenesulfonamide, 4,5-dimethoxy-2-[2-(2,3,6,7-tetrahydro-7-methyl-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)ethenyl]-, (E)- (9CI) (CA INDEX NAME)

RN 160434-19-5 CAPLUS

CN Benzenesulfonamide, 4,5-dimethoxy-N-phenyl-2-[2-(2,3,6,7-tetrahydro-7-methyl-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)ethenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 160434-20-8 CAPLUS

CN Benzenesulfonic acid, 2,3,4-trimethoxy-6-[2-(2,3,6,7-tetrahydro-7-methyl-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)ethenyl]-, (E)- (9CI) (CA INDEX NAME)

RN 160434-21-9 CAPLUS

CN Benzenesulfonamide,

2,3,4-trimethoxy-6-[2-(2,3,6,7-tetrahydro-7-methyl-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)ethenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 160434-23-1 CAPLUS

CN Benzenesulfonamide,

CM 1

CRN 160434-22-0 CMF C28 H42 N6 O7 S CDES 2:E

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 160434-24-2 CAPLUS

CN Benzenesulfonamide, N-(2-hydroxyethyl)-4,5-dimethoxy-2-[2-(2,3,6,7-tetrahydro-7-methyl-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)ethenyl]-, (E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 160434-25-3 CAPLUS

CN Benzenesulfonic acid, 4,5-dimethyl-2-[2-(2,3,6,7-tetrahydro-7-methyl-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)ethenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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RN 160434-26-4 CAPLUS

CN Benzenesulfonic acid, 2-[2-(1,3-diethyl-2,3,6,7-tetrahydro-7-methyl-2,6-dioxo-1H-purin-8-yl)ethenyl]-4-methoxy-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 160434-27-5 CAPLUS

CN Benzenesulfonamide, 2-[2-(1,3-diethyl-2,3,6,7-tetrahydro-7-methyl-2,6-dioxo-1H-purin-8-yl)ethenyl]-4-methoxy-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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RN 160434-28-6 CAPLUS

CN Benzoic acid,

4-[2-(2,3,6,7-tetrahydro-7-methyl-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)ethenyl]-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 160434-29-7 CAPLUS

CN Benzoic acid,

4-[2-(2,3,6,7-tetrahydro-7-methyl-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)ethenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 160434-30-0 CAPLUS

CN Benzoic acid, 3-methoxy-4-[2-(2,3,6,7-tetrahydro-7-methyl-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)ethenyl]-, methyl ester, (E)- (9CI) (CA INDEX NAME)

RN 160434-31-1 CAPLUS

CN Benzoic acid, 4-[2-(1,3-diethyl-2,3,6,7-tetrahydro-7-methyl-2,6-dioxo-1H-purin-8-yl)ethenyl]-3-methoxy-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 160434-32-2 CAPLUS

CN Benzoic acid, 3-methoxy-4-[2-(2,3,6,7-tetrahydro-7-methyl-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)ethenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 160434-33-3 CAPLUS

CN 1H-Purine-2,6-dione,

8-[2-(3-acetylphenyl)ethenyl]-1,3-diethyl-3,7-dihydro-7-methyl-, (E)- (9CI) (CA INDEX NAME)

Page 372

RN 160434-34-4 CAPLUS

CN Benzoic acid, 3-[2-(1,3-diethyl-2,3,6,7-tetrahydro-7-methyl-2,6-dioxo-1H-purin-8-yl)ethenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 160434-35-5 CAPLUS

CN 1H-Purine-2, 6-dione,

8-[2-(3-acetyl-4-methoxyphenyl)ethenyl]-3,7-dihydro-7-methyl-1,3-dipropyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 160434-36-6 CAPLUS

CN Benzoic acid, 2-methoxy-5-[2-(2,3,6,7-tetrahydro-7-methyl-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)ethenyl]-, (E)- (9CI) (CA INDEX NAME)

Page 373

RN 160434-37-7 CAPLUS

CN 1H-Purine-2,6-dione, 8-[2-(3-acetyl-4-fluorophenyl)ethenyl]-1,3-diethyl-3,7-dihydro-7-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 160434-38-8 CAPLUS

CN Benzoic acid, 5-[2-(1,3-diethyl-2,3,6,7-tetrahydro-7-methyl-2,6-dioxo-1H-purin-8-yl)ethenyl]-2-fluoro-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 160434-39-9 CAPLUS

CN 1H-Purine-2,6-dione, 8-[2-(4-acetyl-3-methoxyphenyl)ethenyl]-1,3-diethyl-3,7-dihydro-7-methyl-, (E)- (9CI) (CA INDEX NAME)

Page 374

RN 160434-40-2 CAPLUS
CN Benzenesulfonic acid,
4-[(1E)-2-(2,3,6,7-tetrahydro-7-methyl-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)ethenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 160471-61-4 CAPLUS
CN Reprojected 4-[2-(1 3-diethyl-2 3 6 7-4

CN Benzoic acid, 4-[2-(1,3-diethyl-2,3,6,7-tetrahydro-7-methyl-2,6-dioxo-1H-purin-8-yl)ethenyl]-3-methoxy-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

IT 141807-86-5, (E)-1,3-Diprópyl-7-methyl-8-styrylxanthine 141807-96-7 141807-98-9

Page 375

Double bond geometry as shown.

$$n-Pr$$
 $N$ 
 $N$ 
 $E$ 
 $Ph$ 
 $n-Pr$ 
 $N$ 
 $Me$ 

RN 141807-96-7 CAPLUS
CN 1H-Purine-2,6-dione,
8-[(1E)-2-(3,4-dimethoxyphenyl)ethenyl]-3,7-dihydro-7methyl-1,3-dipropyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 141807-98-9 CAPLUS
CN 1H-Purine-2,6-dione, 3,7-dihydro-7-methyl-1,3-dipropyl-8-[2-(3,4,5-trimethoxyphenyl)ethenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Double bond geometry as shown.

RN 151539-50-3 CAPLUS
CN 1H-Purine-2,6-dione,
8-[2-(3-bromo-4-methoxyphenyl)ethenyl]-3,7-dihydro-7 methyl-1,3-dipropyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155271-32-2 CAPLUS
CN 1H-Purine-2,6-dione, 1,3-diethyl-3,7-dihydro-8-[2-(3-methoxyphenyl)ethenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155271-33-3 CAPLUS
CN 1H-Purine-2,6-dione, 1,3-diethyl-3,7-dihydro-8-[2-(3-methoxyphenyl)ethenyl]-7-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 155271-84-4 CAPLUS CN 1H-Purine-2,6-dione, 8-[2-(3-bromophenyl)ethenyl]-1,3-diethyl-3,7-dihydro-,(E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

Page 378

RN 155271-85-5 CAPLUS
CN 1H-Purine-2,6-dione,
8-[2-(3-bromophenyl)ethenyl]-1,3-diethyl-3,7-dihydro7-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 160434-22-0 CAPLUS
CN Benzenesulfonamide,
N-[2-(dimethylamino)ethyl]-2,3,4-trimethoxy-N-methyl-6[2-(2,3,6,7-tetrahydro-7-methyl-2,6-dioxo-1,3-dipropyl-1H-purin-8yl)ethenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Page 379

RN 160434-44-6 CAPLUS
CN 1H-Purine-2,6-dione,
8-[2-(3-bromo-4-fluorophenyl)ethenyl]-1,3-diethyl-3,7dihydro-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 160434-45-7 CAPLUS
CN 1H-Purine-2,6-dione,
8-[2-(3-bromo-4-fluorophenyl)ethenyl]-1,3-diethyl-3,7dihydro-7-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 160434-46-8 CAPLUS
CN 1H-Purine-2,6-dione, 1,3-diethyl-3,7-dihydro-8-[2-[3-methoxy-4-(methoxymethoxy)phenyl]ethenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 160434-47-9 CAPLUS

CN 1H-Purine-2,6-dione, 1,3-diethyl-3,7-dihydro-8-[2-[3-methoxy-4-(methoxymethoxy)phenyl]ethenyl]-7-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 160434-48-0 CAPLUS

CN 1H-Purine-2,6-dione, 1,3-diethyl-3,7-dihydro-8-[2-(4-hydroxy-3-methoxyphenyl)ethenyl]-7-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 160441-79-2 CAPLUS

CN Methanesulfonic acid, trifluoro-, 4-[2-(1,3-diethyl-2,3,6,7-tetrahydro-7-methyl-2,6-dioxo-1H-purin-8-yl)ethenyl]-2-methoxyphenyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L22 ANSWER 50 OF 57 CAPLUS COPYRIGHT 2001 ACS DUPLICATE 5
1994:315658 Document No. 120:315658 KF17837: a novel selective adenosine
A2A

receptor antagonist with anticataleptic activity. Kanda, Tomoyuki; Shiozaki, Shizuo; Shimada, Junichi; Suzuki, Fumio; Nakamura, Joji (Pharmaceutical Research Laboratories, Kyowa Hakko Kogyo Co. Ltd., 1188 Shimotogari, Nagaizumi-Cho, Sunto-Gun, Shizuoka, 411, Japan). Eur. J. Pharmacol., 256(3), 263-8 (English) 1994. CODEN: EJPHAZ. ISSN: 0014-2999.

AB KF17837 is a novel selective adenosine A2A receptor antagonist. Oral administration of KF17837 (2.5, 10.0 and 30.0 mg/kg) significantly ameliorated the cataleptic responses induced by intracerebroventricular administration of an adenosine A2A receptor agonist, CGS 21680 (10 .mu.g),

in a dose-dependent manner. KF17837 also reduced the catalepsy induced by

haloperidol (1 mg/kg i.p.) and by reserpine (5 mg/kg i.p.). These anticataleptic effects were exhibited dose dependently at doses from 0.625

and 2.5 mg/kg p.o., resp. Moreover, KF17837 (0.625 mg/kg p.o.) potentiated the anticataleptic effects of a subthreshold dose of L-3,4-dihydroxyphenylalanine (L-DOPA; 25 mg/kg i.p.) plus benserazide (6.25 mg/kg i.p.). These results suggested that KF17837 is a centrally active adenosine A2A receptor antagonist and that the dopaminergic function of the nigrostriatal pathway is potentiated by adenosine A2A receptor antagonists. Furthermore, KF17837 may be a useful drug in the treatment of parkinsonism.

IT **141807-96-7**, KF17837

RL: BIOL (Biological study)

(adenosine A2A receptor antagonist, dopaminergic function potentiation by, anticataleptic effects in relation to)

RN 141807-96-7 CAPLUS

CN 1H-Purine-2,6-dione,

8-[(1E)-2-(3,4-dimethoxyphenyl)ethenyl]-3,7-dihydro-7-methyl-1,3-dipropyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L22 ANSWER 51 OF 57 CAPLUS COPYRIGHT 2001 ACS 1994:144161 Document No. 120:144161 Pharmaceutical compositions containing

xanthine derivatives for treatment of Parkinson's disease.
Suzuki, Fumio; Shimada, Junichi; Ishii, Akio; Ichikawa, Shunji (Kyowa
Hakko Kogyo Co., Ltd., Japan). Eur. Pat. Appl. EP 565377 Al 19931013, 49
pp. DESIGNATED STATES: R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT,
LI, LU, MC, NL, PT, SE. (English). CODEN: EPXXDW. APPLICATION: EP
1993-302780 19930408. PRIORITY: JP 1992-87115 19920408.

Pharmaceutical compns. contg. xanthine derivs. (I; R1, R2, R3=H, C1-6 alkyl or allyl; R4= C3-8 cycloalkyl) are useful for treatment of Parkinson's disease. (E)-6-amino-5-(3,4-dimethyoxycinnamoyl)amino-1,3-dipropyluracil (prepn. is given) was refluxed in NaOH soln., then was neutralized and the deposited crysts. were sepd. to to obtain (E)-8-(3,4-dimethyoxstyryl)-1,3-dipropylxanthine (II). To II in DMF was added K2CO3 and MeI and the mixt. was heated at 50.degree. for 30min followed by filteration and addn. of water. The filtrate was extd. with CHCl3 and the ext. was washed, dried, evapd., and purified to obtain (E)-8-(3,4-dimethyoxystyryl)-7-methyl-1,3-dipropylxantha=ine (III). A tablet contained III 20, lactose 143.4, potato starch 30, hydroxypropyl cellulose 6, and Mg stearate 0.6mg.

IT 132940-42-2P 141807-95-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and reaction of)

RN 132940-42-2 CAPLUS

CN lH-Purine-2,6-dione, 3,7-dihydro-8-[(1E)-2-phenylethenyl]-1,3-dipropyl-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$n-Pr$$
 $N$ 
 $N$ 
 $N$ 
 $E$ 
 $Ph$ 
 $n-Pr$ 
 $O$ 

RN 141807-95-6 CAPLUS

CN 1H-Purine-2,6-dione, 8-[(1E)-2-(3,4-dimethoxyphenyl)ethenyl]-3,7-dihydro-

1,3-dipropyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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\begin{array}{c|c} & O & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &
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     141807-86-5P 141807-94-5P 141807-96-7P
     141807-97-8P 141807-98-9P 142665-35-8P
     142665-36-9P 142665-38-1P 147700-41-2P
     147700-43-4P 147700-44-5P 147700-45-6P
     147700-46-7P 147700-47-8P 147700-51-4P
     147700-52-5P 147700-53-6P 147700-54-7P
     151539-17-2P 151539-19-4P 151539-20-7P
     151539-21-8P 151539-22-9P 151539-23-0P
     151539-24-1P 151539-26-3P 151539-27-4P
     151539-28-5P 151539-29-6P 151539-30-9P
     151539-31-0P 151539-32-1P 151539-33-2P
     151539-34-3P 151539-35-4P 151539-36-5P
     151539-37-6P 151539-39-8P 151539-40-1P
     151539-41-2P 151539-42-3P 151539-43-4P
     151539-44-5P 151539-45-6P 151539-46-7P
     151539-47-8P 151539-48-9P 151539-50-3P
     151539-51-4P 151539-53-6P 151539-54-7P
     151539-56-9P 151539-57-0P 151539-58-1P
     151539-60-5P 151539-61-6P 151539-62-7P
     151539-63-8P 151539-65-0P 151539-68-3P
     RL: PREP (Preparation)
        (prepn. of, pharmaceutical compn. contg., for treatment of
        Parkinson's disease)
RN
     141807-86-5 CAPLUS
     1H-Purine-2,6-dione,
3,7-dihydro-7-methyl-8-(2-phenylethenyl)-1,3-dipropyl-
                  (CA INDEX NAME)
     (E) - (9CI)
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Double bond geometry as shown.

RN 141807-94-5 CAPLUS

CN 1H-Purine-2,6-dione, 3,7-dihydro-8-[2-(4-methoxyphenyl)ethenyl]-7-methyl-1,3-dipropyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 141807-96-7 CAPLUS

CN 1H-Purine-2,6-dione,

8-[(1E)-2-(3,4-dimethoxyphenyl)ethenyl]-3,7-dihydro-7-methyl-1,3-dipropyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 141807-97-8 CAPLUS

CN 1H-Purine-2,6-dione, 3,7-dihydro-1,3-dipropyl-8-[2-(3,4,5-trimethoxyphenyl)ethenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c|c} & \text{OMe} \\ & \text{OMe} \\ \\ & \text{N} \\ & \text{N} \\ \\ & \text{N} \end{array}$$

RN 141807-98-9 CAPLUS

CN 1H-Purine-2,6-dione, 3,7-dihydro-7-methyl-1,3-dipropyl-8-[2-(3,4,5-trimethoxyphenyl)ethenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 142665-35-8 CAPLUS

CN 1H-Purine-2,6-dione, 3,7-dihydro-1,3-di-2-propenyl-8-[2-(3,4,5-trimethoxyphenyl)ethenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$H_2C$$
 $H_2C$ 
 $H_2C$ 
 $H_2C$ 
 $H_2C$ 
 $H_2C$ 
 $H_2C$ 
 $H_2C$ 
 $H_2C$ 

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RN 142665-36-9 CAPLUS CN 1H-Purine-2,6-dione, 3,7-dihydro-7-methyl-1,3-di-2-propenyl-8-[(1E)-2-

(3,4,5-trimethoxyphenyl)ethenyl] - (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 142665-38-1 CAPLUS

CN 1H-Purine-2,6-dione, 1,3-dibutyl-3,7-dihydro-7-methyl-8-[2-(3,4,5-trimethoxyphenyl)ethenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 147700-41-2 CAPLUS

CN 1H-Purine-2, 6-dione,

3,7-dihydro-7-methyl-8-[2-(3-nitrophenyl)ethenyl]-1,3-dipropyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 147700-43-4 CAPLUS

CN 1H-Purine-2,6-dione, 8-[2-(3-fluorophenyl)ethenyl]-3,7-dihydro-1,3-dipropyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 147700-44-5 CAPLUS

CN 1H-Purine-2,6-dione, 8-[2-(3-fluorophenyl)ethenyl]-3,7-dihydro-7-methyl-1,3-dipropyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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L22 ANSWER 52 OF 57 EMBASE COPYRIGHT 2001 ELSEVIER SCI. B.V. 94106081 EMBASE Document No.: 1994106081. S9977-2 reduces learning impairment

and brain interleukin-1.beta. overproduction in rats with neurotoxic lesions in the nucleus basalis of Meynert. Alvarez X.A.; Franco A.; Rettori M.-C.; Kamoun A.; Polo E.; Cacabelos R.. Institute for CNS Disorders, Basic/Clin. Neurosciences Res. Ctr., A Coruna, Spain. European

Page 388

Neuropsychopharmacology 3/3 (425-426) 1993. ISSN: 0924-977X. CODEN: EURNE8. Pub. Country: Netherlands. Language: English.

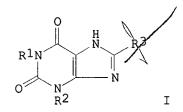
- L22 ANSWER 53 OF 57 EMBASE COPYRIGHT 2001 ELSEVIER SCI. B.V. 93017781 EMBASE Document No.: 1993017781. Alzheimer's disease: News and prospects. Cacabelos R.. Institute for CNS Disorders, Basic/Clinical Neurosc Research Cent, La Coruna, Spain. Drug News and Perspectives 5/8 (501 - 506)1992. ISSN: 0214-0934. CODEN: DNPEED. Pub. Country: Spain. Language: English.
- L22 ANSWER 54 OF 57 BIOSIS COPYRIGHT 2001 BIOSIS 1992:491678 Document No.: BR43:100878. SELECTIVE INHIBITION OF HUMAN ACETYLCHOLINESTERASE IN-VITRO BY S-9977-2. THOMSEN T. INST. CLIN. PHARMACOL., KLINIKUM STEGLITZ, FREE UNIV. BERLIN, D-1000 BERLIN 45, GER.. THIRD INTERNATIONAL CONFERENCE ON ALZHEIMER'S DISEASE AND RELATED DISORDERS, ABANO TERME, ITALY, JULY 12-17, 1992. NEUROBIOL AGING. (1992) 13 (SUPPL 1), S132. CODEN: NEAGDO. ISSN: 0197-4580. Language: English.
- L22 ANSWER 55 OF 57 CAPLUS COPYRIGHT 2001 ACS Document No. 117:83453 1,3,7-Trimethyl-8-[3-(4diethylaminocarbonylpiperazino)propyl]xamthine for treatment of memory disorders, intellectual disorders of ageing, and Alzheimer's disease. Kamoun, Annie; Mocaer, Elisabeth; Regnier, Gilbert; Guillonneau,
  - Claude; Duhault, Jacques (ADTR et Cie., Fr.). S. African ZA 9007739 A 19910731, 18 pp. (English). CODEN: SFXXAB. APPLICATION: ZA 1990-7739 19900927. PRIORITY: FR 1990-10235 19900810. The title compd. (A), and its physiol. tolerable acid addn. salts are
- AΒ used
- for treatment of memory disorders, intellectual disorders of aging, and Alzheimer's disease. In animal testing, I-HCl improved spontameous alteration, acquisition, and retention (24 h later) of spatial 💉

discrimination in a T-shaped labyrinth. The effect of I-HCl on memory retention and on amnesia are also described.

L22 ANSWER 56 OF 57 CAPLUS COPYRIGHT 2001 ACS 1991:102033 Document No. 114:102033 Preparation of 1,3-dialkyl-8-substituted-

xanthines as drugs. Maschler, Harald; Spicer, Barbara Ann; Smith, Harry (Beecham-Wuelfing G.m.b.H. und Co. K.-G., Fed. Rep. Ger.; Beecham Group PLC). Eur. Pat. Appl. EP 389282 A2 19900926, 24 pp. DESIGNATED STATES: R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE. (English). CODEN: EPXXDW. APPLICATION: EP 1990-303093 19900322. PRIORITY: GB 1989-6792 19890323.

GI



AB The title compds. [I; R1,R2 = alkyl, (CH2)mA; m = 1 3; A = (substituted) cyclic hydrocarbyl; R3 = halo, NO2, amino, acylamino), were prepd. Thus, 1,3-dibutylxanthine in HOAc was treated with conc. HNO3 at 87.degree. to give 86% 1,3-dibutyl-8-nitroxanthine. The latter in conc. HCl was

with Sn to give 63% 1,3-dibutyl-8-aminoxanthine hydrochloride. The latter

inhibited cAMP phosphodiesterase with Ki = 1.3 .mu.M.

L22 ANSWER 57 OF 57 CAPLUS COPYRIGHT 2001 ACS

1991:164265 Document No. 114:164265 Preparation of xanthines as adenosine antagonists. Kuefner-Muehl, Ulrike; Weber, Karl Heinz; Walther, Gerhard; Stransky, Werner; Ensinger, Helmut; Schingnitz, Guenter; Kuhn, Franz Josef; Lehr, Erich (Boehringer Ingelheim K.-G., Fed. Rep. Ger.). Ger. Offen. DE 3843117 Al 19900628, 20 pp. (German). CODEN: GWXXBX. APPLICATION(CODE): 8843843117 To 19881222.

GI

The title compds. [I; R1 = C1-6 alkyl, C3-4 alkenyl or alkynyl; R2 = H, C1-6 alkyl, C3-4 alkenyl or alkynyl, (un)substituted benzyl, R3 = C-attached (un)satd. 5-, 6-, or 7-membered heterocycle contg. .gtoreq.1 0,

S, and optionally substituted by C1-6 alkyl, CHO, CH2OR4, CO2R4, CONR5R6, etc., C4-8 cycloalkyl, (un)substituted C3-8 cycloalkane, (un)substituted C4-8 cycloalkanone or cycloalkanol, C6H3R7R8-3,4, fluorenyl, bicyclyl residues Q, Q1, etc.; R4 = H, C1-13 alkyl, propargyl, etc.; R5 = H, C1-6 alkyl, etc.; R6 = H, C1-6 alkyl, PhCH2, etc.; R7R8 = OCH2O, OCH2CH2O] and their pharmacol. unobjectionable salts, adenosine antagonists having a specific affinity for the A1 receptor-subtype, useful for the treatment

of
the ageing-related illnesses, e.g., senile dementia and Alzheimer
's disease, were prepd. A soln. of 2.9 g 1-benzyl-3-propyl-5-nitroso-6aminouracil, prepd. by N-propylation of 1-benzyl-6-aminouracil followed
by

Page 390

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nitrosation, and 2.3 g 1,4-benzodioxane-6-aldehyde in DMF was treated
with
     0.5 g Me2NNH2 and the mixt. was refluxed 8 h to give 1 g I (R1 = PhCH2,
R2
     = Pr, R3 = 1,4-benzodioxin-6-yl). The Ki of 9 I for the adenosine A1
     receptor were 2 .times. 10-9 to 8 .times. 10-9 nM and >1 .times. 10-5 to
9
     .times. 10-5 nM for the A2 receptor.
=> dis his
     (FILE 'CAOLD' ENTERED AT 14:28:39 ON 04 SEP 2001)
                DEL HIS Y
     FILE 'REGISTRY' ENTERED AT 14:31:10 ON 04 SEP 2001
L1
                STR
L2
             41 S L1
L3
                STR L1
           1960 S L1 FUL
L4
     FILE 'CAPLUS' ENTERED AT 14:38:03 ON 04 SEP 2001
                E NEURODEGENERATIVE DISEASE/CT 5
                E E3+ALL/CT
                E NEURODEGENERATIVE DISEASES/CT 5
                E E3+ALL/CT
L5
            826 S E1
     FILE 'MEDLINE, CAPLUS, BIOSIS, EMBASE' ENTERED AT 14:39:39 ON 04 SEP 2001
L6
              1 FILE MEDLINE
L7
              3 FILE CAPLUS
              3 FILE BIOSIS
rs
L9
              4 FILE EMBASE
     TOTAL FOR ALL FILES
             11 S L4 AND (L5 OR NERVOUS SYSTEM(L) DEGENERAT? OR
L10
NEURODEGENERAT? (
              8 DUP REM L10 (3 DUPLICATES REMOVED)
L12
              1 FILE MEDLINE
L13
             21 FILE CAPLUS
L14
             16 FILE BIOSIS
L15
             31 FILE EMBASE
     TOTAL FOR ALL FILES
L16
             69 S (ALZHEIMER? OR PARKINSON?) AND L4
L17
             1 FILE MEDLINE
             21 FILE CAPLUS
L18
L19
             14 FILE BIOSIS
L20
             27 FILE EMBASE
     TOTAL FOR ALL FILES
L21
             63 S L16 NOT L10
L22
             57 DUP REM L21 (6 DUPLICATES REMOVED)
=> select hit
ENTER ANSWER SET OR SMARTSELECT L# OR (L22):17
ENTER ANSWER NUMBER OR RANGE (1-):1-3
ENTER DISPLAY CODE (TI) OR ?:rn
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## E1 THROUGH E42 ASSIGNED

=> fil reg;s e1-42 TOTAL COST IN U.S. DOLLARS SINCE FILE ENTRY SESSION 412.03 1647.38 FULL ESTIMATED COST DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE -13.52-90.24

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STRUCTURE FILE UPDATES: 3 SEP 2001 HIGHEST RN 354528-22-6 DICTIONARY FILE UPDATES: 3 SEP 2001 HIGHEST RN 354528-22-6

TSCA INFORMATION NOW CURRENT THROUGH January 11, 2001

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Structure search limits have been increased. See HELP SLIMIT for details.

1 147700-11-6/BI (147700-11-6/RN) 1 340020-84-0/BI (340020-84-0/RN)1 340020-85-1/BI (340020-85-1/RN) 1 340020-86-2/BI (340020-86-2/RN) 1 340020-87-3/BI (340020-87-3/RN) 1 340020-88-4/BI (340020-88-4/RN) 1 340020-89-5/BI (340020-89-5/RN) 1 340020-90-8/BI (340020-90-8/RN) 1 340020-92-0/BI (340020-92-0/RN) 1 340020-94-2/BI (340020-94-2/RN) 1 340021-02-5/BI (340021-02-5/RN)1 340021-96-7/BI (340021-96-7/RN) 1 340022-14-2/BI (340022-14-2/RN)1 340022-15-3/BI

(340022-15-3/RN) 1 340022-16-4/BI (340022-16-4/RN) 1 340022-83-5/BI (340022-83-5/RN) 1 340022-85-7/BI (340022-85-7/RN) 1 340022-86-8/BI (340022-86-8/RN) 1 340022-88-0/BI (340022-88-0/RN) 1 340023-05-4/BI (340023-05-4/RN) 1 340023-07-6/BI (340023-07-6/RN) 1 340023-22-5/BI (340023-22-5/RN) 1 340025-91-4/BI (340025-91-4/RN) 1 340163-15-7/BI (340163-15-7/RN) 1 340163-16-8/BI (340163-16-8/RN) 1 340163-17-9/BI (340163-17-9/RN) 1 340163-18-0/BI (340163-18-0/RN) 1 340163-49-7/BI (340163-49-7/RN) 1 340163-51-1/BI (340163-51-1/RN) 1 340163-53-3/BI (340163-53-3/RN) 1 340163-96-4/BI (340163-96-4/RN) 1 340163-97-5/BI (340163-97-5/RN) 1 340163-98-6/BI (340163-98-6/RN) 1 340163-99-7/BI (340163-99-7/RN) 1 340164-00-3/BI (340164-00-3/RN) 1 340164-01-4/BI (340164-01-4/RN)1 340164-26-3/BI (340164-26-3/RN) 1 340164-27-4/BI (340164-27-4/RN) 1 340164-28-5/BI (340164-28-5/RN) 1 340164-33-2/BI (340164-33-2/RN) 1 340255-31-4/BI

(340255-31-4/RN)

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1 340266-61-7/BI
(340266-61-7/RN)
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42 (147700-11-6/BI OR 340020-84-0/BI OR 340020-85-1/BI OR

-2/BI OR 340020-87-3/BI OR 340020-88-4/BI OR 340020-89-5/BI OR 340020-90-8/BI OR 340020-92-0/BI OR 340020-94-2/BI OR

340021-02-

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5/BI OR 340021-96-7/BI OR 340022-14-2/BI OR 340022-15-3/BI OR 340022-16-4/BI OR 340022-85-7/BI OR

340022-86-

8/BI OR 340022-88-0/BI OR 340023-05-4/BI OR 340023-07-6/BI OR 340023-22-5/BI OR 340025-91-4/BI OR 340163-15-7/BI OR

340163-16-

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340163-97-

5/BI OR 340163-98-6/BI OR 340163-99-7/BI OR 340164-00-3/BI OR 340164-01-4/BI OR 340164-26-3/BI OR 340164-27-4/BI OR

340164-28-

5/BI OR 340164-33-2/BI OR 340255-31-4/BI OR 340266-61-7/BI)

=> d 1-3 9 20 21 24 31 33 42 ide cbib

L23 ANSWER 1 OF 42 REGISTRY COPYRIGHT 2001 ACS

RN 340266-61-7 REGISTRY

CN 1H-Purine-2, 6-dione,

8-(3-exo)-8-azabicyclo[3.2.1]oct-3-yl-3,7-dihydro-1,3-dipropyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C18 H27 N5 O2 . C2 H F3 O2

SR CA

LC STN Files: CA, CAPLUS

CM 1

CRN 340266-60-6 CMF C18 H27 N5 O2

Relative stereochemistry.

CM 2

Page 394

CRN 76-05-1 CMF C2 H F3 O2

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 134:366887 Preparation of 8-substituted xanthines as adenosine receptor antagonists. Dowling, James E.; Ensinger, Carol; Kumaravel, Gnanasambandam; Petter, Russell C. (Biogen, Inc., USA). PCT Int. Appl.

WO 2001034604 A2 20010517, 61 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT,

AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2000-US31100 20001113. PRIORITY: US 1999-PV165283 19991112.

L23 ANSWER 2 OF 42 REGISTRY COPYRIGHT 2001 ACS

RN **340255-31-4** REGISTRY

CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-(2,3,6,7-tetrahydro-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)-, ethyl ester, (3-endo)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C21 H31 N5 O4

SR CA

LC STN Files: CA, CAPLUS

Relative stereochemistry.

1 REFERENCES IN FILE CA (1967 TO DATE)

Page 395

Prepared by M. Hale 308-4258

1 4.41

## 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 134:366887 Preparation of 8-substituted xanthines as adenosine receptor antagonists. Dowling, James E.; Ensinger, Carol; Kumaravel, Gnanasambandam; Petter, Russell C. (Biogen, Inc., USA). PCT Int. Appl. WO

2001034604 A2 20010517, 61 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT,

AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN:

1999-PV165283 19991112.

L23 ANSWER 3 OF 42 REGISTRY COPYRIGHT 2001 ACS
RN 340164-33-2 REGISTRY
CN 8-Azabicyclo[3.2.1]oct-2-ene-8-carboxylic acid,
3-(2,3,6,7-tetrahydro-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)-, ethyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C21 H29 N5 O4

PIXXD2. APPLICATION: WO 2000-US31100 20001113.

SR CA LC STN Files: CA, CAPLUS

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 134:366887 Preparation of 8-substituted xanthines as adenosine receptor antagonists. Dowling, James E.; Ensinger, Carol; Kumaravel, Gnanasambandam; Petter, Russell C. (Biogen, Inc., USA). PCT Int. Appl. WO

2001034604 A2 20010517, 61 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT,

AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,

NZ, PL, PI, RO, RO, SE, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN:

PRIORITY: US

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PIXXD2. APPLICATION: WO 2000-US31100 20001113. PRIORITY: US 1999-PV165283 19991112.

L23 ANSWER 9 OF 42 REGISTRY COPYRIGHT 2001 ACS

RN 340163-99-7 REGISTRY

CN 1H-Purine-2,6-dione, 3,7-dihydro-8-(8-oxabicyclo[3.2.1]oct-3-yl)-1,3-dipropyl- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C18 H26 N4 O3

SR CA

LC STN Files: CA, CAPLUS

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 134:366887 Preparation of 8-substituted xanthines as adenosine receptor antagonists. Dowling, James E.; Ensinger, Carol; Kumaravel, Gnanasambandam; Petter, Russell C. (Biogen, Inc., USA). PCT Int. Appl.

WO

2001034604 A2 20010517, 61 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT,

AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BI, CE, CG, CH, CI, CM, CY, DE, DK, ES, FI, EB, GA, GB, GB, IF, IT

BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN:

PIXXD2. APPLICATION: WO 2000-US31100 20001113. PRIORITY: US 1999-PV165283 19991112.

L23 ANSWER 20 OF 42 REGISTRY COPYRIGHT 2001 ACS

RN **340025-91-4** REGISTRY

CN 1H-Purine-2,6-dione,

3,7-dihydro-8-[4-[[[(4-methylphenyl)sulfonyl]oxy]meth

yl]-2-oxabicyclo[2.2.2]oct-1-yl]-1,3-dipropyl- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C26 H34 N4 O6 S

SR CA

REFERENCE 1: 134:366889 Preparation of polycycloalkylpurines as adenosine receptor antagonists. Kiesman, William F.; Dowling, James E.; Ensinger, Carol L.; Kumaravel, Gnanasambandam; Petter, Russell C.; Chang, He Xi; Lin, Ko Chung (Biogen, Inc., USA). PCT Int. Appl. WO 2001034610 A1 20010517, 124 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2000-US31058 20001113. PRIORITY: US 1999-PV165191 19991112.

L23 ANSWER 21 OF 42 REGISTRY COPYRIGHT 2001 ACS

RN **340023-22-5** REGISTRY

CN 2-Oxabicyclo[2.2.2]octane-1-carboxaldehyde, 4-(2,3,6,7-tetrahydro-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C19 H26 N4 O4

SR CA

REFERENCE 1: 134:366889 Preparation of polycycloalkylpurines as adenosine receptor antagonists. Kiesman, William F.; Dowling, James E.; Ensinger, Carol L.; Kumaravel, Gnanasambandam; Petter, Russell C.; Chang, He Xi; Lin, Ko Chung (Biogen, Inc., USA). PCT Int. Appl. WO 2001034610 A1 20010517, 124 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2000-US31058 20001113. PRIORITY: US 1999-PV165191 19991112.

L23 ANSWER 24 OF 42 REGISTRY COPYRIGHT 2001 ACS

RN 340022-88-0 REGISTRY

CN Acetic acid, [[hexahydro-6a-[2,3,6,7-tetrahydro-2,6-dioxo-1,3-dipropyl-7-(tetrahydro-2H-pyran-2-yl)-1H-purin-8-yl]-2,5-methanofuro[3,2-b]furan-3-yl]oxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

MF C29 H42 N4 O8

SR CA

REFERENCE 1: 134:366889 Preparation of polycycloalkylpurines as adenosine receptor antagonists. Kiesman, William F.; Dowling, James E.; Ensinger, Carol L.; Kumaravel, Gnanasambandam; Petter, Russell C.; Chang, He Xi; Lin, Ko Chung (Biogen, Inc., USA). PCT Int. Appl. WO 2001034610 A1 20010517, 124 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2000-US31058 20001113. PRIORITY: US 1999-PV165191 19991112.

L23 ANSWER 31 OF 42 REGISTRY COPYRIGHT 2001 ACS

RN **340021-96-7** REGISTRY

CN 2-Oxabicyclo[2.2.2]octane-1-propanoic acid, 4-(2,3,6,7-tetrahydro-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C21 H30 N4 O5

SR CA

REFERENCE 1: 134:366889 Preparation of polycycloalkylpurines as adenosine receptor antagonists. Kiesman, William F.; Dowling, James E.; Ensinger, Carol L.; Kumaravel, Gnanasambandam; Petter, Russell C.; Chang, He Xi; Lin, Ko Chung (Biogen, Inc., USA). PCT Int. Appl. WO 2001034610 Al 20010517, 124 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2000-US31058 20001113. PRIORITY: US 1999-PV165191 19991112.

L23 ANSWER 33 OF 42 REGISTRY COPYRIGHT 2001 ACS

RN **340020-94-2** REGISTRY

CN Acetic acid,

[[hexahydro-6a-(2,3,6,7-tetrahydro-2,6-dioxo-1,3-dipropyl-1H-purin-8-yl)-2,5-methanofuro[3,2-b]furan-3-yl]oxy]- (9CI) (CA INDEX NAME)

MF C20 H26 N4 O7

SR CA

REFERENCE 1: 134:366889 Preparation of polycycloalkylpurines as adenosine receptor antagonists. Kiesman, William F.; Dowling, James E.; Ensinger, Carol L.; Kumaravel, Gnanasambandam; Petter, Russell C.; Chang, He Xi; Lin, Ko Chung (Biogen, Inc., USA). PCT Int. Appl. WO 2001034610 Al 20010517, 124 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2000-US31058 20001113. PRIORITY: US 1999-PV165191 19991112.

L23 ANSWER 42 OF 42 REGISTRY COPYRIGHT 2001 ACS

RN **147700-11-6** REGISTRY

CN 1H-Purine-2,6-dione,

8-[(1E)-2-(3-chlorophenyl)ethenyl]-3,7-dihydro-1,3,7trimethyl- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 1H-Purine-2,6-dione, 8-[2-(3-chlorophenyl)ethenyl]-3,7-dihydro-1,3,7-trimethyl-, (E)-

OTHER NAMES:

CN 8-(3-Chlorostyryl)caffeine

FS STEREOSEARCH

MF C16 H15 Cl N4 O2

SR CA

LC STN Files: BIOSIS, CA, CAPLUS, CHEMCATS, TOXLIT, USPATFULL

Double bond geometry as shown.

27 REFERENCES IN FILE CA (1967 TO DATE)
28 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 134:217597 Leptin for use in inhibition of endothelial cell proliferation optionally together with VEGF inhibitors. Rubinstein, Menachem; Cohen, Batya; Barkan, Dalit (Yeda Research and Development Co. Ltd., Israel). PCT Int. Appl. WO 2001018040 A2 20010315, 38 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ,

Page 402

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Ther., 291(2), 531-537 (English) 1999. CODEN: JPETAB. ISSN: 0022-3565. Publisher: American Society for Pharmacology and Experimental Therapeutics.

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9269 FILE BIOSIS
L26
L27
          6349 FILE EMBASE
TOTAL FOR ALL FILES
         39834 SHIMADA, ?/AU
L29
           725 FILE MEDLINE
L30
          1346 FILE CAPLUS
L31
           951 FILE BIOSIS
L32
           608 FILE EMBASE
TOTAL FOR ALL FILES
          3630 SUZUKI F?/AU
=> s 128 and 133
L34
            21 FILE MEDLINE
L35
            66 FILE CAPLUS
L36
            26 FILE BIOSIS
L37
            22 FILE EMBASE
TOTAL FOR ALL FILES
           135 L28 AND L33
=> s 138 and (alzheimer? or parkinson? or 15 or nervous system(1)degenerat?
or neurodegenerat?(2a)(disease? or disorder?))
             1 FILE MEDLINE
L39
L40
             8 FILE CAPLUS
L41
             2 FILE BIOSIS
             1 FILE EMBASE
L42
TOTAL FOR ALL FILES
            12 L38 AND (ALZHEIMER? OR PARKINSON? OR L5 OR NERVOUS SYSTEM(L)
               DEGENERAT? OR NEURODEGENERAT? (2A) (DISEASE? OR DISORDER?))
=> s 143 not (110 or 116)
             1 FILE MEDLINE
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TOTAL FOR ALL FILES
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L49 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2001 ACS
              Document No. 129:275928 Preparation of [1,2,4]triazolo[1,5-
1998:672551
     c]pyrimidine derivatives as adenosine A2A receptor antagonists. Tsumuki,
     Hiroshi; Shimada, Junichi; Imma, Hironori; Nakamura, Akiko;
     Nonaka, Hiromi; Shiozaki, Shizuo; Ichikawa, Shunji; Kanda, Tomoyuki;
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Kuwana, Yoshihisa; Ichimura, Michio; Suzuki, Fumio (Kyowa Hakko Kogyo Co., Ltd., Japan; et al.). PCT Int. Appl. WO 9842711 A1 19981001, 210 pp. DESIGNATED STATES: W: AU, BG, BR, CA, CN, CZ, HU, IL, JP, KR, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE. (Japanese). CODEN: PIXXD2. APPLICATION: WO 1998-JP1266 19980324. PRIORITY: JP 1997-69566 19970324.

GI

AB The title compds. [I; R1 is (un)substituted aryl or the like; R2 is H halo, lower alkyl, (un)substituted aryl, or the like; R3 is H, halo, XR10,

etc.; X is O or S; R10 is (un)substituted aryl, heteroaryl, or aralkyl, lower alkyl, etc.; Q is H, 3,4-dimethoxybenzyl] are prepd. I, possessing adenosine A2A receptor antagonism, are useful for prevention and treatment

of various diseases due to the hyperactivity of adenosine A2A receptors (such as Parkinson's disease and senile dementia). Thus, N-(2-furoyl)-N'-(2-methylthio-4-phenoxypyrimidin-6-yl) hydrazine (prepn. given) was reacted with P2O5 and (TMS)2NH and then with veratrylamine to give 62% I (R1 = 2-furanyl, R2 = H, R3 = phenoxy, Q = veratryl). I were tested and showed adenosine A2A receptor antagonism activity and the effect for Parkinson's disease. A formulation contg. I was also prepd.

L49 ANSWER 2 OF 4 BIOSIS COPYRIGHT 2001 BIOSIS

1996:383738 Document No.: PREV199699106094. Carbon-11-labeled KF15372: A potential central nervous system adenosine A-1 receptor ligand. Furuta, Riko; Ishiwata, Kiichi (1); Kiyosawa, Motohiro; Ishii, Shin-Ichi; Saito, Noriko; Shimada, Jun-Ichi; Endo, Kazutoyo; Suzuki, Fumio; Senda, Michio. (1) Positron Med. Cent., Tokyo Metropolitan Inst. Gerontol., 1-1 Naka-cho, Itabashi, Tokyo 173 Japan. Journal of Nuclear Medicine, (1996) Vol. 37, No. 7, pp. 1203-1207. ISSN: 0161-5505. Language:

English.

AB The carbon-11-labeled selective adenosine A-1 antagonist KF15372 ((1-propyl-11C)8-dicyclopropylmethyl-1,3-dipropylxanthine) was evaluated in vivo as a PET ligand for mapping CNS adenosine Al receptors. Methods: The regional brain distribution of (11C)KF15372 and the effects of adenosine antagonists on the distribution were determined in mice by tissue sampling. In rats, in which the retinal projection fibres to the superior colliculus had degenerated due to unilateral eye removal, the brain distribution of (11C)KF15372 was visualized by ex vivo autoradiography. Results: The mouse brain uptake of (11C)KF15372 was 1.8% i.d./g at 5 min and then it gradually decreased. The uptake was high in

the hippocampus, cerebral cortex, striatum and cerebellum, and was significantly reduced by A-1 antagonists but not by A-2 antagonists. The brain distribution of 11C assessed by the tissue sampling and autoradiography was compatible with that of the A-1 receptors. Autoradiography clearly visualized unilaterally decreased A-1 receptor binding in the superior colliculus. Conclusion: The results demonstrated that (11C)KF15372 is a selective and high-affinity adenosine A-1 receptor ligand and is useful for detecting the degeneration of presynaptic neurons.

- L49 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2001 ACS
  1995:501359 Document No. 122:248318 Remedy for Parkinson's
  disease. Suzuki, Fumio; Shimada, Junichi; Koike,
  Nobuaki; Ichikawa, Shunji; Nakamura, Joji; Kanda, Tomoyuki; Kitamura,
  Shigeto (Kyowa Hakko Kogyo Co., Ltd., Japan). PCT Int. Appl. WO 9503806
  A1 19950209, 27 pp. DESIGNATED STATES: W: AU, CA, JP, KR, NO, US; RW:
  AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE.
  (Japanese). CODEN: PIXXD2. APPLICATION: WO 1994-JP1196 19940720.
  PRIORITY: JP 1993-184295 19930727.
- AB A remedy for Parkinson's disease contains a polycyclic compd., i.e. [1,2,4]-triazino[1,5-a]-1,3,5-triazines and 1,2,4-triazo[1,5-c]quinazolines. For example, 7-amino-2-(2-furyl)-5-phenoxy-[1,2,4]-triazino[1,5-a]-1,3,5-triazine was tested for spontaneous motion control effects with Parkinson's disease mouse models. Also, formulations contg. the active ingredients are provided.
- L49 ANSWER 4 OF 4 MEDLINE DUPLICATE 1
  94320609 Document Number: 94320609. PubMed ID: 8045270. KF17837: a novel selective adenosine A2A receptor antagonist with anticataleptic activity. Kanda T; Shiozaki S; Shimada J; Suzuki F; Nakamura J.

  (Pharmaceutical Research Laboratories, Kyowa Hakko Kogyo Co. Ltd., Shizuoka, Japan.) EUROPEAN JOURNAL OF PHARMACOLOGY, (1994 May 2) 256 (3) 263-8. Journal code: EN6; 1254354. ISSN: 0014-2999. Pub. country: Netherlands. Language: English.
- KF17837 is a novel selective adenosine A2A receptor antagonist. Oral AΒ administration of KF17837 (2.5, 10.0 and 30.0 mg/kg) significantly ameliorated the cataleptic responses induced by intracerebroventricular administration of an adenosine A2A receptor agonist, CGS 21680 (10 micrograms), in a dose-dependent manner. KF17837 also reduced the catalepsy induced by haloperidol (1 mg/kg i.p.) and by reserpine (5 mg/kg i.p.). These anticataleptic effects were exhibited dose dependently at doses from 0.625 and 2.5 mg/kg p.o., respectively. Moreover, KF17837 (0.625 mg/kg p.o.) potentiated the anticataleptic effects of a subthreshold dose of L-3,4-dihydroxyphenylalanine (L-DOPA; 25 mg/kg i.p.) plus benserazide (6.25 mg/kg i.p.). These results suggested that KF17837 is a centrally active adenosine A2A receptor antagonist and that the dopaminergic function of the nigrostriatal pathway is potentiated by adenosine A2A receptor antagonists. Furthermore, KF17837 may be a useful drug in the treatment of parkinsonism.

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